

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization  
International Bureau(43) International Publication Date  
21 August 2003 (21.08.2003)

PCT

(10) International Publication Number  
WO 03/068805 A2(51) International Patent Classification<sup>7</sup>: C07K

(21) International Application Number: PCT/US03/04790

(22) International Filing Date: 14 February 2003 (14.02.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/356,915 14 February 2002 (14.02.2002) US(71) Applicant (for all designated States except US): BAYER  
PHARMACEUTICALS CORPORATION [US/US];  
400 Morgan Lane, West Haven, CT 06516 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): WANG, Wei  
[US/US]; 923 Lilac Street, Alameda, CA 94502 (US).  
WANG, Yu-chang, John [US/US]; 1341 Cabrillo Avenue,  
Burlingame, CA 94010 (US). MARTIN-MOE, Sheryl  
[US/US]; 42 Steuben Bay, Alameda, CA 94502 (US).(74) Agents: GREENMAN, Jeffrey, M. et al.; Bayer Pharma-  
ceuticals Corporation, 400 Morgan Lane, West Haven, CT  
06516 (US).(81) Designated States (national): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,  
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,  
MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE,  
SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,  
VC, VN, YU, ZA, ZM, ZW.(84) Designated States (regional): ARIPO patent (GH, GM,  
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI,  
SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN,  
GQ, GW, ML, MR, NE, SN, TD, TG).

## Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted  
a patent (Rule 4.17(ii)) for the following designations AE,  
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,  
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,  
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,  
MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC,  
SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ,  
VC, VN, YU, ZA, ZM, ZW, ARIPO patent (GH, GM, KE, LS,  
MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent  
(AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent  
(AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB,  
GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI  
patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
MR, NE, SN, TD, TG)
- as to the applicant's entitlement to claim the priority of the  
earlier application (Rule 4.17(iii)) for all designations
- of inventorship (Rule 4.17(iv)) for US only

## Published:

- without international search report and to be republished  
upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: FORMULATION STRATEGIES IN STABILIZING PEPTIDES IN ORGANIC SOLVENTS AND IN DRIED STATES

(57) **Abstract:** The invention relates to stabilized formulations of therapeutically active peptides, particularly PACAP 66. Formulations of the invention include a peptide containing at least one histidine residue, a transition metal salt and an organic solvent. The above formulations may contain peptides that have at least one asparagine residue and are acidified and dried (such as spray-dried or freeze-dried) before formulation preparation. Other formulations of the invention relate to stabilized formulations of PACAP 66 or peptides containing an asparagine residue, which are acidified and dried (such as spray-dried or freeze-dried) with or without a transition metal salt.

WO 03/068805 A2